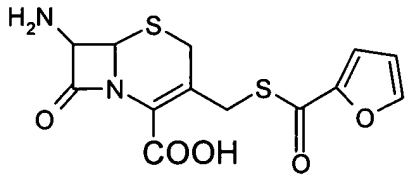
Current Claims

U.S. Patent Application No. 10/035,178
Inventors: Pramod N. DESHPANDE et al.

16. A process to prepare a cephalosporin compound (Furaca: 3-[2-(furylcarbonyl) thiomethyl]-3-cephem-4-carboxylic acid) represented by formula (I),



(I)

comprising:

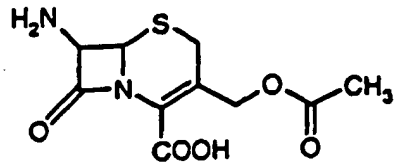
(a) combining the following components:

(i) a catalyst solution of boron trifluoride in an organic solvent or in a mixture of organic solvents,

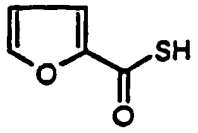
(ii) a solution of 2-thiofuroic acid (furyl-2-carbonylthiol) of the formula (III) in a solvent, and

(iii) 7-aminocephalosporanic acid of the formula (II), and

(b) precipitating Furaca (3-[2-(furylcarbonyl) thiomethyl]-3-cephem-4-carboxylic acid) as a solid.



(II)

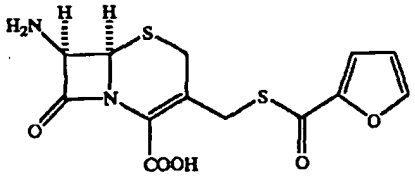


(III)

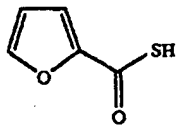
17. The process of claim 16, wherein both the organic solvent and the mixture of organic solvents are selected from the group consisting of ethyl acetate, methyl acetate, and propyl acetate.

18. The process of claim 16, wherein said components are allowed to react at a reaction temperature between 20°C and 50°C before step (b).

19. A process to prepare cephalosporin compound of the formula



comprising performing nucleophilic displacement of the acetoxy of 7-aminocephalosporanic acid by 2-thiofuroic acid of the formula

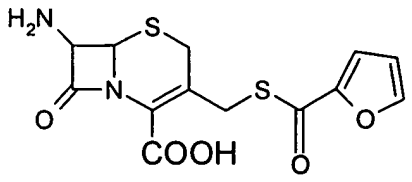


in presence of boron trifluoride in an organic solvent or a mixture of organic solvents.

20. The process of claim 19 wherein both the said organic solvent and the said mixture of organic solvents is selected from the group consisting of ethyl acetate, methyl acetate, propyl acetate.

21. The process of claim 19 wherein the said nucleophilic displacement is conducted at a reaction temperature between 20°C and 50°C.

22. A process to prepare a cephalosporin compound (Furaca: 3-[2-(furylcarbonyl) thiomethyl]-3-cephem-4-carboxylic acid) represented by formula (I),



(I)

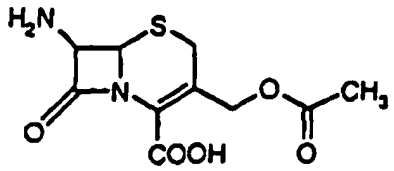
comprising the steps of:

preparing a catalyst solution of boron trifluoride in an organic solvent or in a mixture of organic solvents,

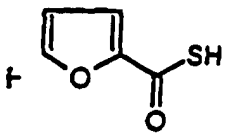
mixing into said catalyst solution a solution of 2-thiofuroic acid (furyl-2-carbonylthiol) of the formula (III) in a solvent to form a reactant mixture,

reacting 7-aminocephalosporanic acid of the formula (II) with the said reactant mixture, and

precipitating from the said reaction mixture Furaca (3-[2-(furylcarbonyl) thiomethyl]-3-cephem-4-carboxylic acid) as a solid.



(II)

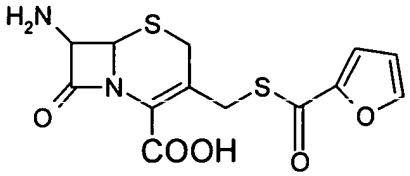


(III)

23. The process of claim 22, wherein both the organic solvent and the said mixture of solvents are selected from the group consisting of ethyl acetate, methyl acetate, and propyl acetate.

24. The process of claim 22, wherein the said reacting step is conducted at a reaction temperature between 20°C and 50°C.

25. A process to prepare a cephalosporin compound (Furaca: 3-[2-(furylcarbonyl) thiomethyl]-4-cephem-4-carboxylic acid) represented by formula (I),



(I)

comprising:

(a) combining the following components:

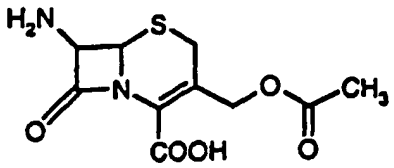
(i) a catalyst solution of boron trifluoride in an organic solvent or in a mixture of organic solvents,

(ii) a solution of 2-thiofuroic acid (furyl-2-carbonylthiol) of the formula (III) in an organic solvent, and

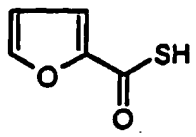
(iii) 7-aminocephalosporanic acid of the formula (II);

(b) allowing said components to react at a reaction temperature between 20°C and 50°C; and

(c) precipitating Furaca (3-[2-(furylcarbonyl) thiomethyl]-3-cephem-4-carboxylic acid) as a solid.



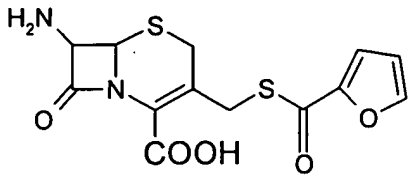
(II)



(III)

26. The process of claim 25, wherein both the organic solvent and the mixture of organic solvents of the catalyst solution are selected from the group consisting of ethyl acetate, methyl acetate, and propyl acetate.

27. A process to prepare a cephalosporin compound (Furaca: 3-[2-(furylcarbonyl) thiomethyl]-3-cephem-4-carboxylic acid) represented by formula (I),



(I)

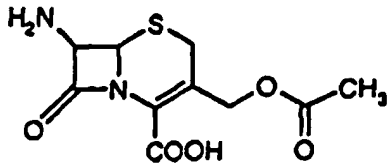
comprising the steps of:

preparing a catalyst solution of boron trifluoride in an organic solvent or in a mixture of organic solvents,

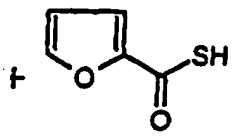
mixing into said catalyst solution a solution of 2-thiofuroic acid (furyl-2-carbonylthiol) of the formula (III) in an organic solvent to form a reactant mixture,

reacting 7-aminocephalosporanic acid of the formula (II) with the said reactant mixture at a reaction temperature between 20°C and 50°C, and

precipitating from the said reaction mixture Furaca (3-[2-(furylcarbonyl) thiomethyl]-3-cephem-4-carboxylic acid) as a solid.



(II)



(III)

28. The process of claim 27, wherein both the organic solvent and the said mixture of solvents of the catalyst solution are selected from the group consisting of ethyl acetate, methyl acetate, and propyl acetate.